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NEWS 3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 12	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 17	JUL 28	CA/CAplus patent coverage enhanced
NEWS 18	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20	JUL 28	STN Viewer performance improved
NEWS 21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 24	AUG 15	CAplus currency for Korean patents enhanced
NEWS 25	AUG 25	CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS 26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 27	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 28	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of

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                  exemplified prophetic substances
 NEWS 29  SEP 26  WPIDS, WPINDEX, and WPIX coverage of Chinese and
                  and Korean patents enhanced
 NEWS 30  SEP 29  IFICLS enhanced with new super search field
 NEWS 31  SEP 29  EMBASE and EMBAL enhanced with new search and
                  display fields

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS LOGIN     Welcome Banner and News Items
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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE     TOTAL
                                                       ENTRY    SESSION
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FILE 'REGISTRY' ENTERED AT 14:18:18 ON 29 SEP 2008
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STRUCTURE FILE UPDATES:   26 SEP 2008  HIGHEST RN 1053621-88-7
DICTIONARY FILE UPDATES:  26 SEP 2008  HIGHEST RN 1053621-88-7

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Uploading C:\Program Files\Stnexp\Queries\10562112b.str
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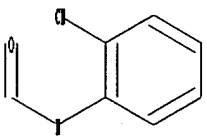

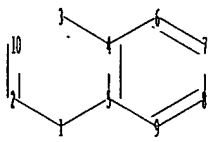

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1 2 3 10
ring nodes :
4 5 6 7 8 9
chain bonds :
1-2 1-5 2-10 3-4
ring bonds :
4-5 4-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-2 1-5 2-10
exact bonds :
3-4
normalized bonds :
4-5 4-6 5-9 6-7 7-8 8-9
isolated ring systems :
containing 4 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

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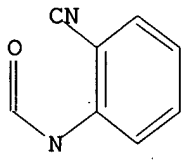
=> d l1
L1 HAS NO ANSWERS
L1 STR



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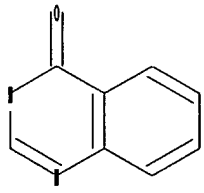

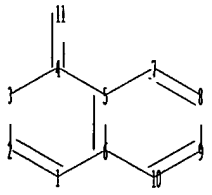

chain nodes :
11
ring nodes :
1 2 3 4 5 6 7 8 9 10

chain bonds :
4-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-11
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS

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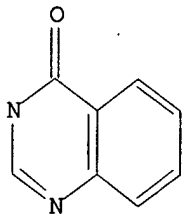
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 and L2
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SAMPLE SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1316 TO 2484
PROJECTED ANSWERS: 8 TO 329

L3 8 SEA SSS SAM L1 AND L2

=> s L1 full
FULL SEARCH INITIATED 14:19:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 79277 TO ITERATE

100.0% PROCESSED 79277 ITERATIONS 12487 ANSWERS
SEARCH TIME: 00.00.01

L4 12487 SEA SSS FUL L1

=> s l2 full
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FULL SCREEN SEARCH COMPLETED - 1388707 TO ITERATE

72.0% PROCESSED 1000000 ITERATIONS 193351 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1388707 TO 1388707
PROJECTED ANSWERS: 266955 TO 270059

L5 193351 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	357.18	357.39

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FILE COVERS 1907 - 29 Sep 2008 VOL 149 ISS 14
FILE LAST UPDATED: 28 Sep 2008 (20080928/ED)

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=> d his

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L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 8 S L1 AND L2
L4 12487 S L1 FULL
L5 193351 S L2 FULL

FILE 'CAPLUS' ENTERED AT 14:20:09 ON 29 SEP 2008

=> s l4 and l5
1152 L4
2840 L5

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L6          43 L4 AND L5

=> s l6 and (cyclization or cyclisation)
        159671 CYCLIZATION
           603 CYCLISATION
L7            5 L6 AND (CYCLIZATION OR CYCLISATION)

=> d l7 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L7   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2007:565402  CAPLUS
DOCUMENT NUMBER:         147:9942
TITLE:                   Quinazolines useful as modulators of voltage gated ion
                         channels and their preparation, pharmaceutical
                         compositions and use in the treatment of diseases
INVENTOR(S):             Wilson, Dean; Fanning, Lev T. D.; Krenitsky, Paul;
                         Termin, Andreas; Joshi, Pramod; Sheth, Urvi
PATENT ASSIGNEE(S):      Vertex Pharmaceuticals Incorporated, USA
SOURCE:                  PCT Int. Appl., 133pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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     EP 1957482           A2    20080820    EP 2006-837387           20061113
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     US 20080167305       A1    20080710    US 2008-50289            20080318
     KR 2008073749        A     20080811    KR 2008-714446           20080613
PRIORITY APPLN. INFO.:                       US 2005-737330P     P    20051114
                                             WO 2006-US43895     W    20061113
OTHER SOURCE(S):         MARPAT 147:9942
GI

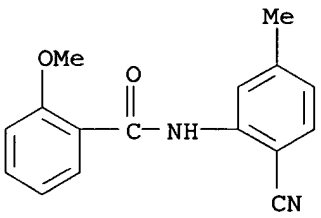
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   The invention relates to compds. of formula I useful as inhibitors of
```

voltage-gate sodium channels. Compds. of formula I where squiggle line indicated either (R)- or (S) stereochem.; R is R is H and (un)substituted C1-6 aliphatic; R3, R4 and R5 are independently Q-Rx; Q is bond and C1-6 alkylidene, etc.; Rx is halo, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., etc.; and their pharmaceutically acceptable salts thereof, are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the compns. in the treatment of various disorders. Example compound II was prepared by amidation of 2-fluoro-6-methoxybenzoic acid with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxybenzamide underwent cyclization to give 2-(2-fluoro-6-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which underwent chlorination to give 4-chloro-2-(2-fluoro-6-methoxyphenyl)-7-methylquinazoline, which underwent demethylation to give 2-(4-chloro-7-methylquinazolin-2-yl)-3-fluorophenol, which underwent amination with (R)-benzyl pyrrolidin-3-ylcarbamate to give (R)-benzyl 1-[2-(2-fluoro-6-hydroxyphenyl)-7-methylquinazolin-4-yl]pyrrolidin-3-ylcarbamate, which underwent hydrogenation to give (R)-2-[4-(3-aminopyrrolidin-1-yl)-7-methylquinazolin-2-yl]-3-fluorophenol, which underwent acylation with 2-methoxyethyl chloroformate to give compound II•TFA. All the invention compds. were evaluated for their NaV inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value between 1 μM and 5 μM.

IT 757982-22-2P 757982-24-4P 879274-73-4P
879274-77-8P 879274-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazoline compds. as inhibitors of voltage-gated sodium channels useful useful useful in treatment of various disorders)

RN 757982-22-2 CAPLUS
CN Benzamide, N-(2-cyano-5-methylphenyl)-2-methoxy- (CA INDEX NAME)



RN 757982-24-4 CAPLUS
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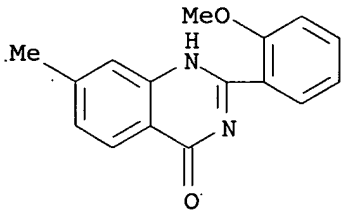
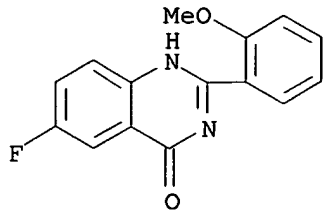


RN 879274-73-4 CAPLUS
CN 4(3H)-Quinazolinone, 6-fluoro-2-(2-methoxyphenyl)- (CA INDEX NAME)



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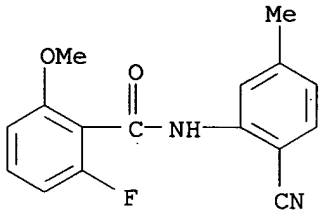

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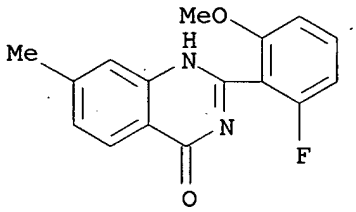

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:120895 CAPLUS
DOCUMENT NUMBER: 142:198095
TITLE: A preparation of quinazolin-4-ones via cyclization of N-(cyanophenyl)acetamide derivatives
INVENTOR(S): Godfrey, Andrew Aydon
PATENT ASSIGNEE(S): BTG International Limited, UK
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012260	A2	20050210	WO 2004-GB3141	20040720
WO 2005012260	A3	20050407		

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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US 20060189804	A1	20060824	US 2005-562112	20051223 — PG Pub.
IN 2006DN00057	A	20070824	IN 2006-DN57	20060103
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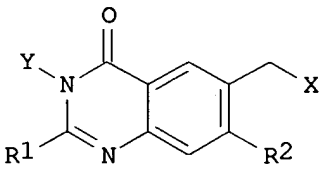
OTHER SOURCE(S): CASREACT 142:198095; MARPAT 142:198095

GI



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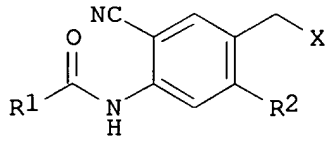

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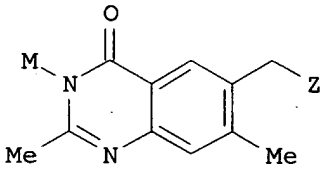

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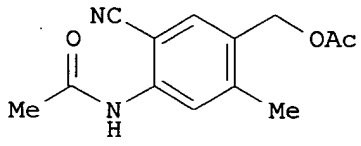


IV

AB The invention relates to a preparation of quinazolin-4-one derivs. of formula I [wherein: R1 and R2 are independently H or Me; Y is a protecting group; X is a leaving group], useful as intermediates in preparation of antitumor agents. The invention compds. I were prepared via cyclization of amides of formula II. For instance, quinazolin-4-one derivative III•HBr (Z = Br, M = H) was prepared via intramol. cyclization of N-(cyanophenyl)acetamide derivative IV, N-protection of the obtained quinazoline derivative III (Z = OAc; M = H) by chloromethyl pivalate, and subsequent bromination (yields: cyclization - 87%, bromination - 89%).

IT 247904-63-8P 838858-84-7P 838858-85-8P
838858-86-9P 838858-87-0P

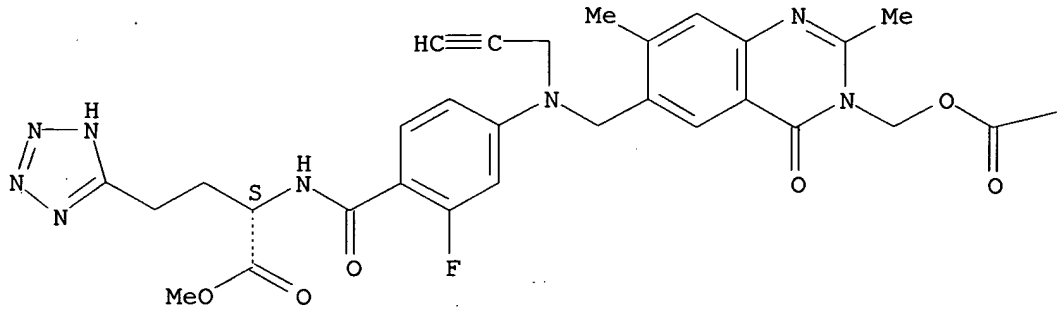
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of quinazolin-4-one derivs. useful as intermediates in preparation of antitumor agents)

RN 247904-63-8 CAPLUS

CN 2H-Tetrazole-5-butanoic acid, α-[[4-[[[3-[(2,2-dimethyl-1-oxopropoxy)methyl]-3,4-dihydro-2,7-dimethyl-4-oxo-6-quinazolinyl]methyl]-2-propyn-1-ylamino]-2-fluorobenzoyl]amino]-, methyl ester, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

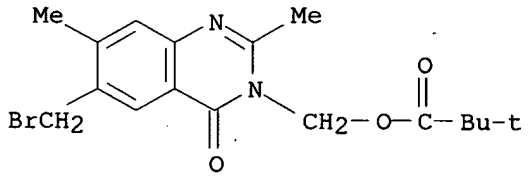


PAGE 1-B

—Bu-t

RN 838858-84-7 CAPLUS

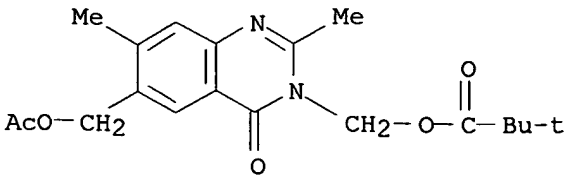
CN Propanoic acid, 2,2-dimethyl-, [6-(bromomethyl)-2,7-dimethyl-4-oxo-3(4H)-quinazolinyl]methyl ester, hydrobromide (1:1) (CA INDEX NAME)



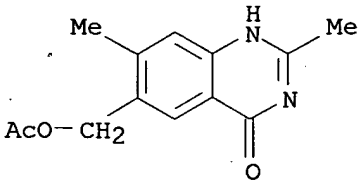
● HBr

RN 838858-85-8 CAPLUS

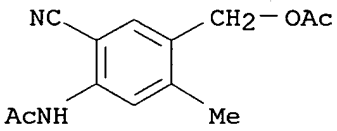
CN Propanoic acid, 2,2-dimethyl-, [6-[(acetyloxy)methyl]-2,7-dimethyl-4-oxo-3(4H)-quinazolinyl]methyl ester (CA INDEX NAME)



RN 838858-86-9 CAPLUS
CN 4(3H)-Quinazolinone, 6-[(acetyloxy)methyl]-2,7-dimethyl- (CA INDEX NAME)



RN 838858-87-0 CAPLUS
CN Acetamide, N-[4-[(acetyloxy)methyl]-2-cyano-5-methylphenyl]- (CA INDEX NAME)

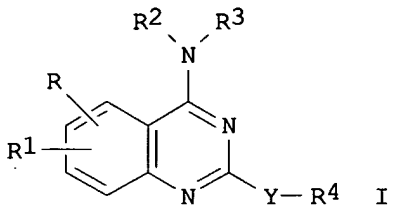


L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:310972 CAPLUS
DOCUMENT NUMBER: 140:321379
TITLE: Preparation of aminoquinazoline protein kinase B inhibitors as anticancer agents
INVENTOR(S): Barnickel, Gerhard; Eggenweiler, Hans-Michael; Eiermann, Volker; Gericke, Rolf; Rautenberg, Wilfried; Sirrenberg, Christian; Scharm, Burkhard
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004030672	A1	20040415	WO 2003-EP9392	20030825

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003255482 A1 20040423 AU 2003-255482 20030825
PRIORITY APPLN. INFO.: EP 2002-22151 A 20021002
WO 2003-EP9392 W 20030825
OTHER SOURCE(S): MARPAT 140:321379
GI



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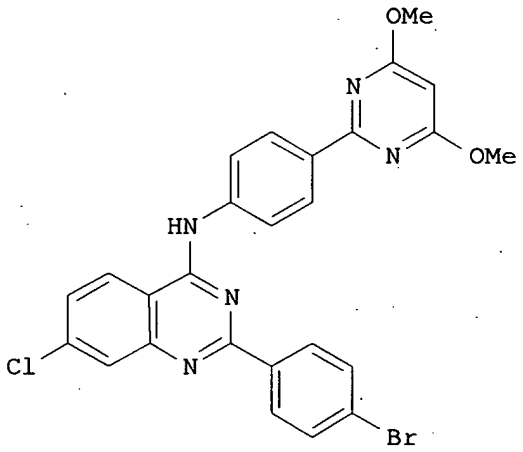


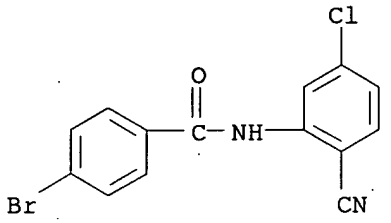
II

AB Title compds. I [wherein R and R1 = independently H, alkyl, OH, alkoxy, halo, N(R5)2, NO2, CN, CHO, alkanoyl, CON(R5)2, CO2R5, allyl, CH=CHCO2R5, CH=CHCON(R5)2, alkylsulfonyl, or (un)substituted Ph; R2 and R3 = independently H, (cyclo)alkyl, (un)substituted heterocyclyl(alkyl), alkoxy(alkyl), amino(alkyl), aryl(alkyl), etc.; or NR2R3 = (un)substituted heterocyclyl; R4 = aryl or substituted thiophenyl; R5 = H or alkyl; Y = a direct bond, (CH2)n, or NR5(CH2)m; m = 0-6; n = 1-6; and pharmaceutically tolerable salts and solvates thereof] were prepared as protein kinase B (PKB or Akt or RAC) inhibitors. For example, amidation of 2-amino-4-chlorobenzonitrile with 4-bromobenzoyl chloride in the presence of pyridine in THF afforded 4-bromo-N-(5-chloro-2-cyanophenyl)benzamide. Reduction using NaOH and perhydrite tablets in MeOH, followed by cyclization with NaOH in dioxane gave 2-(4-bromophenyl)-7-chloro-3H-quinazolin-4-one. Reaction with thionyl chloride in DMF provided 2-(5-bromophenyl)-4,7-dichloroquinazoline, which was coupled with 4-(4,6-dimethoxypyrimidin-2-yl)aniline in THF to give II. The latter inhibited PKB with IC50 of 0.0000066 M. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders, such as cancer, psoriasis, arthritis, inflammation, endometriosis, scarring, or benign prostatic hyperplasia (no data).

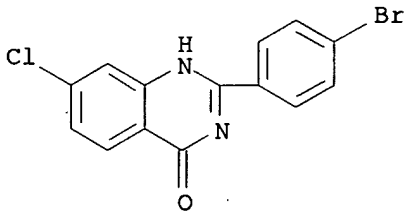
IT 405933-91-7P, 4-Bromo-N-(5-chloro-2-cyanophenyl)benzamide
405933-93-9P, 2-(4-Bromophenyl)-7-chloro-3H-quinazolin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(intermediate; preparation of aminoquinazoline PKB inhibitors as anticancer agents)

RN 405933-91-7 CAPLUS
CN Benzamide, 4-bromo-N-(5-chloro-2-cyanophenyl)- (CA INDEX NAME)

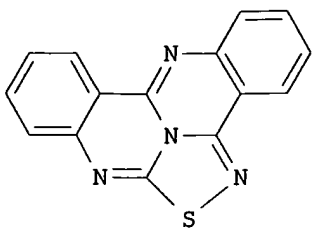


RN 405933-93-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-(4-bromophenyl)-7-chloro- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:574519 CAPLUS
DOCUMENT NUMBER: 135:371701
TITLE: Synthesis and X-ray characterization of a new polycondensed heterocycle obtained by a novel Mn(III)-mediated cascade reaction of 2-cyanophenyl isothiocyanate
AUTHOR(S): Calestani, G.; Capella, L.; Leardini, R.; Minozzi, M.; Nanni, D.; Papa, R.; Zanardi, G.
CORPORATE SOURCE: Dipartimento di Chimica Organica 'A. Mangini', Universita di Bologna, Bologna, I-40136, Italy
SOURCE: Tetrahedron (2001), 57(33), 7221-7233
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:371701
GI

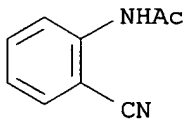


I

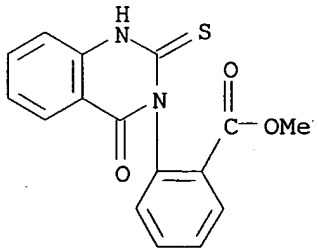
AB 2-Cyanophenyl isothiocyanate reacted with Mn(III) acetate in acetic acid or acetonitrile to give fair yields of a new polycondensed heterocycle (I), arising from the joining together of two mols. of the starting isothiocyanate with loss of a CS moiety. The yields were close to 90% when the reaction was carried out in the presence of di-Et malonate. I was unambiguously identified by X-ray crystallog. Under the same conditions, 2-(methoxycarbonyl)phenyl isothiocyanate gave a quinazolinimine derivative instead, which is likely to arise from cyclization of an intermediate N,N'-diarylthiourea. The mechanism of formation of the former compound probably involves formation of a N,N'-bis(2-cyanophenyl)thiourea, followed by rearrangement and radical tandem ring closure of the corresponding cyclic imine derivative This hypothesis is also supported by semiempirical calcns.

IT 25116-00-1P, N-(2-Cyanophenyl)acetamide 309940-88-3P 374567-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and x-ray characterization of new polycondensed heterocycle obtained by novel Mn(III)-mediated cascade reaction of 2-cyanophenyl isothiocyanate)

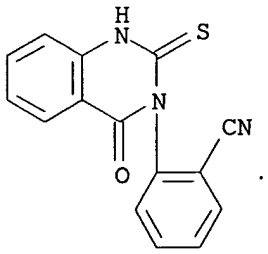
RN 25116-00-1 CAPLUS
CN Acetamide, N-(2-cyanophenyl)- (CA INDEX NAME)



RN 309940-88-3 CAPLUS
CN Benzoic acid, 2-(1,4-dihydro-4-oxo-2-thioxo-3(2H)-quinazolinyl)-, methyl ester (CA INDEX NAME)



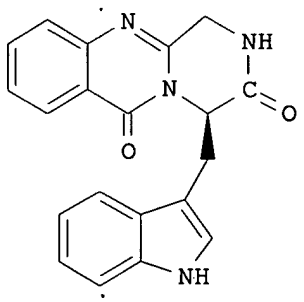
RN 374567-55-2 CAPLUS
CN Benzonitrile, 2-(1,4-dihydro-4-oxo-2-thioxo-3(2H)-quinazolinyl)- (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:68948 CAPLUS
DOCUMENT NUMBER: 132:251284
TITLE: Total Synthesis of the Fumiquinazoline Alkaloids: Solution-Phase Studies
AUTHOR(S): Wang, Haishan; Ganesan, A.
CORPORATE SOURCE: Institute of Molecular and Cell Biology, National University of Singapore, Singapore, 117609, Singapore
SOURCE: Journal of Organic Chemistry (2000), 65(4), 1022-1030
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:251284
GI



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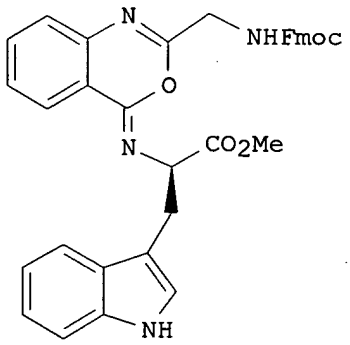


II

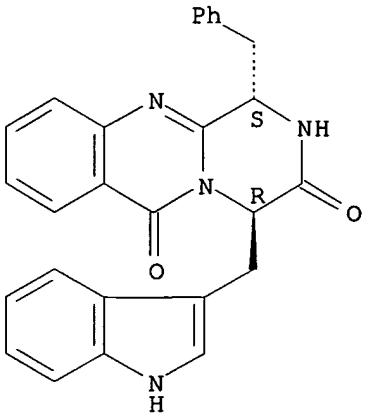
AB Biomimetic total syntheses of glyantrypine (I), fumiquinazoline F, fumiquinazoline G, and fiscalin B were achieved in four steps from tryptophan Me ester. In the key step, the anthranilamide residue in a linear tripeptide is dehydrated to a benzoxazine, e.g. II, by reaction with triphenylphosphine, iodine, and a tertiary amine. The benzoxazines subsequently undergo rearrangement to the natural products via an amidine intermediate. This dehydrative oxazine to quinazoline route is applicable to a broad range of N-acylanthranilamides, including sterically hindered cases.

IT 262590-30-7P 262590-45-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(total synthesis of fumiquinazoline alkaloids, solution-phase studies)

RN 262590-30-7 CAPLUS

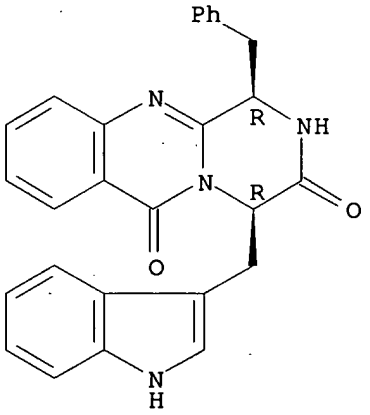
CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-(phenylmethyl)-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

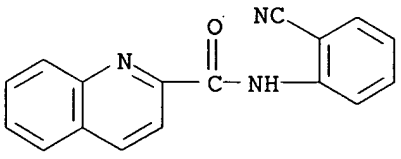


RN 262590-45-4 CAPLUS
CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-(phenylmethyl)-, (1R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

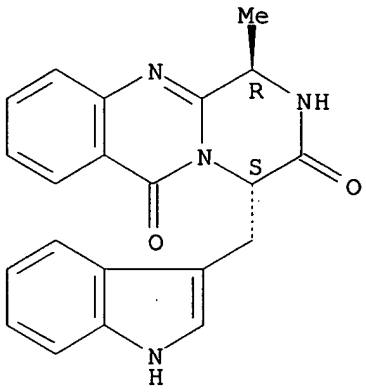


IT 262590-34-1P 262590-43-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of fumiquinazoline alkaloids, solution-phase studies)
RN 262590-34-1 CAPLUS
CN 2-Quinolinecarboxamide, N-(2-cyanophenyl)- (CA INDEX NAME)



RN 262590-43-2 CAPLUS
CN 2H-Pyrazino[2,1-b]quinazoline-3,6(1H,4H)-dione, 4-(1H-indol-3-ylmethyl)-1-methyl-, (1R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 14:18:18 ON 29 SEP 2008
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L2 STRUCTURE UPLOADED
L3 8 S L1 AND L2
L4 12487 S L1 FULL
L5 193351 S L2 FULL

FILE 'CAPLUS' ENTERED AT 14:20:09 ON 29 SEP 2008
L6 43 S L4 AND L5
L7 5 S L6 AND (CYCLIZATION OR CYCLISATION)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	[redacted]	[redacted]

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.00	-4.00

STN INTERNATIONAL LOGOFF AT 14:22:16 ON 29 SEP 2008